

[11][21] 51074

[54] ISOQUINOLINIUM DERIVATIVES, THEIR PREPARATION AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM

[71] The Wellcome Foundation Limited, London, England

[51] Int. Cl.<sup>3</sup> C07D 217/10, 405/10, A61K 31/47

[33] United Kingdom [31] 50589  
" " 45028

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[57] Compounds of the formula

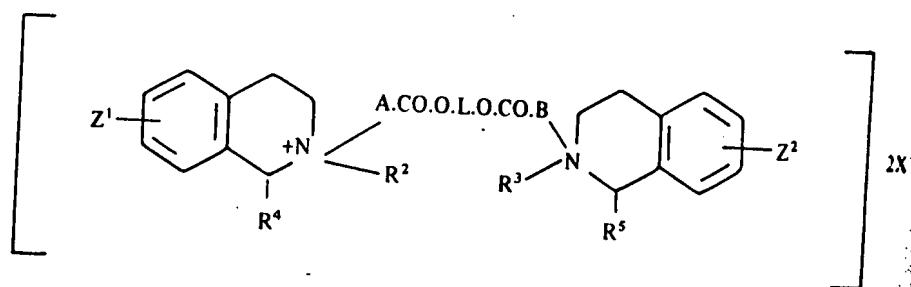
תולוחות איזוקווינוליניום, הכנתן ותכשורי רוקחות המכילים אותו

[22] 9.XII.1976 הפטלכה המאוחדרת

הטוגנים: [32] 10.XII.1975

" " [32] 29.X.1976

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wherein Z<sup>1</sup> and Z<sup>2</sup> are the same or different and each represents a methylenedioxy substituent, or up to three methoxy substituents;

R<sup>2</sup> and R<sup>3</sup> are the same or different and each is alkyl having 1-3 carbon atoms;

R<sup>4</sup> and R<sup>5</sup> are the same or different and each is a benzyl or phenethyl group wherein the phenyl ring is optionally substituted by one or more of halogen, alkoxy having 1 to 3 carbon atoms and methylenedioxy;

A and B are the same or different and each is an alkylene radical containing 1, 2 or 3 carbon atoms;

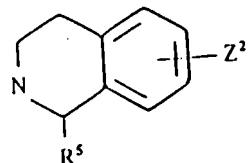
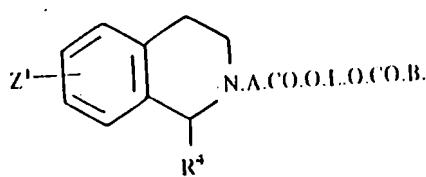
L is an alkylene chain having from 2 to 12 carbon atoms or is a group -L'.O.L"- wherein each of L' and L" is alkylene having at least two carbon atoms and taken together L' and L" have up to 11 carbon atoms; and

X<sup>-</sup> is an anion.

The process for the preparation of such

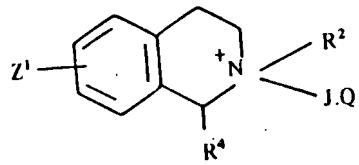
compounds comprises inter alia:

(a) quaternising a tertiary base of the formula



or a corresponding monotertiary base where-  
in one of the isoquinoline groups is substi-  
tuted in the 2- position by a group R² or  
R³, with a quaternising agent suitable for  
introducing one or both of R² and R³ as  
appropriate; or

(b) esterifying a compound of the  
formula



by reaction with a compound of formula



wherein J is alkylene having 1 to 3 carbon  
atoms, Q and Q¹ are functional groups or  
atoms which react together to form an ester  
linkage, and Q² is a functional group which  
will react with Q to form an ester linkage or  
a group.

The compounds are for use as active  
ingredients of pharmaceutical compositions  
having neuromuscular blocking activity.